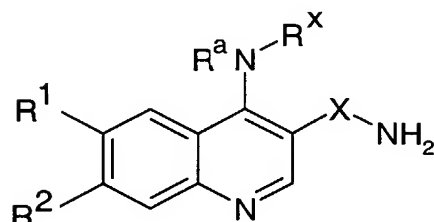


# Claims

1. A compound of formula (I)



(I)

- 5 or a pharmaceutically acceptable salt or solvate thereof, wherein  
 X is  $-\text{CHOH}$  or  $-\text{C}=\text{O}$ ;  
 $\text{R}^1$  and  $\text{R}^2$ , which may be the same or different, represent nitro, cyano,  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy, hydroxy, aryl,  $\text{Y}(\text{CR}^3_2)_p\text{NR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CONR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CO}_2\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OR}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OCOR}^6$   
 10 or  $\text{R}^1$  and  $\text{R}^2$  are linked together as  $-\text{OCH}_2\text{O}-$  or  $-\text{OCH}_2\text{CH}_2\text{O}-$ ;  
 $\text{R}^3$  groups are independently hydrogen,  $\text{C}_1\text{-C}_8$  alkyl, hydroxy,  $\text{C}_1\text{-C}_8$  alkoxy or halogen;  
 $p$  is 0, 1, 2, 3, 4 or 5;  
 $\text{Y}$  is oxygen,  $\text{CH}_2$ ,  $-\text{OSO}_2-$  or  $\text{NR}^7$   
 $\text{R}^4$  and  $\text{R}^5$  each independently represent hydrogen or a group selected from  $\text{C}_1\text{-C}_8$  alkyl, -  
 15  $\text{C}_1\text{-C}_8$  alkoxy,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  cycloalkyl,  $-\text{SO}_2-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkoxy,  $-\text{CO}-\text{NR}^7(\text{C}_1\text{-C}_8)$  alkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl, each of which groups may optionally be substituted by one or more hydroxy, cyano,  $-\text{CONH}_2$  or  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkoxy groups, or  $\text{R}^4$  and  $\text{R}^5$  together with the nitrogen atom to which they are attached form a 4- to 7-membered, saturated or aromatic heterocyclic ring system optionally containing one or  
 20 more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by at least one substituent selected from hydroxy,  $\text{C}_1\text{-C}_8$  alkyl,  $=\text{O}$ ,  $\text{C}_1\text{-C}_8$  alkoxy or  $(\text{C}_1\text{-C}_8)$  alkoxy)- $\text{CO}-$ , or one of  $\text{R}^4$  and  $\text{R}^5$  is hydrogen or  $\text{C}_1\text{-C}_8$  alkyl and the other is a 5- or 6-membered heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom;  
 25  $\text{R}^6$  is hydrogen,  $\text{C}_1\text{-C}_8$  alkyl (itself optionally substituted by one or more hydroxy, cyano, halogen or amino groups), phenyl, benzyl,  $-\text{CO}(\text{C}_1\text{-C}_8)$  alkyl or a saturated monocyclic 4-

- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, the ring itself being optionally substituted by at least one substituent selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, =O, C<sub>1</sub>-C<sub>8</sub> alkyl -CO-, or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO- where any C<sub>1</sub>-C<sub>8</sub> alkyl is optionally substituted by one or more hydroxy,
- 5 cyano, halogen or amino groups;  
 R<sup>7</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;  
 R<sup>a</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;  
 R<sup>x</sup> is a group selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or a saturated monocyclic 4- to 7-membered ring comprising one or more heteroatoms selected from nitrogen, oxygen and
- 10 sulphur, wherein any C<sub>3</sub>-C<sub>8</sub> cycloalkyl group or saturated monocyclic 4- to 7-membered ring is optionally substituted by one or more groups selected from hydroxy, azido, cyano, amino, halogen, -CONH<sub>2</sub>-, C<sub>1</sub>-C<sub>8</sub> alkyl, (C<sub>1</sub>-C<sub>8</sub> alkyl)CO-, C<sub>1</sub>-C<sub>8</sub> alkoxy, or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO-, and any C<sub>1</sub>-C<sub>8</sub> alkyl, (C<sub>1</sub>-C<sub>8</sub> alkyl)CO-, C<sub>1</sub>-C<sub>8</sub> alkoxy, or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO- group is itself optionally substituted by one or more substituents selected from hydroxy, azido,
- 15 cyano, amino, halogen or phenyl; or R<sup>x</sup> is a group Ar;  
 Ar is selected from phenyl, tetrahydronaphthenyl, indolyl, pyrazolyl, dihydroindenyl, 1-oxo-2,3-dihydroindenyl, indazolyl, dihydroisoquinolyl, oxodihydroisoquinolyl, tetrahydroisoquinolyl or oxotetrahydroisoquinolyl, each of which can be optionally substituted by one or more groups, which may be the same or different, selected from
- 20 halogen, hydroxy, cyano, C<sub>1</sub>-C<sub>8</sub> alkoxy, CO<sub>2</sub>R<sup>8</sup>, CONR<sup>9</sup>R<sup>10</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>8</sup>-C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-CONR<sup>8</sup>-C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-CONR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>COC<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> thioalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl (itself optionally substituted by one or more hydroxy, azido or cyano groups or fluorine atoms), C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>11</sup>R<sup>12</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-OR<sup>12</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-SR<sup>12</sup>;  
 R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;
- 25 R<sup>9</sup> and R<sup>10</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl  
 R<sup>11</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;  
 R<sup>12</sup> is hydrogen or a group selected from C<sub>1</sub>-C<sub>8</sub> alkyl, -(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>,  
 -CO-(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>, -SO<sub>2</sub>-(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>;

n is between 0 and 5;

R<sup>13</sup> groups are independently hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>8</sub> alkoxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, amino or halogen;

R<sup>14</sup> is hydrogen or a group selected from -NR<sup>15</sup>R<sup>16</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, -COOH, -S(C<sub>1</sub>-C<sub>8</sub> alkyl), -SO(C<sub>1</sub>-C<sub>8</sub> alkyl), -CONR<sup>15</sup>R<sup>16</sup>, -CO(C<sub>1</sub>-C<sub>8</sub> alkyl), -CO-O-(C<sub>1</sub>-C<sub>8</sub> alkyl), or a saturated or unsaturated 4- to 10-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, each of which groups may be optionally substituted by one or more hydroxy, C<sub>1</sub>-C<sub>8</sub> alkyl(which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> hydroxyalkyl, -C=O, cyano, amino, nitro, halogen, C<sub>1</sub>-C<sub>8</sub> alkylsulphonyl or aminosulphonyl groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur; or R<sup>11</sup> and R<sup>12</sup>, together with the nitrogen atom to which they are attached form a 4- to 10-membered saturated or unsaturated heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl(which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), nitro, cyano, -CONH<sub>2</sub>, amino, =O or -COOH groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur and which may be optionally substituted by one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO-; and R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, -CONH<sub>2</sub> or -C(NH<sub>2</sub>)=NH;

provided that when

$R^x$  is Ar, X is  $-\text{CO}$  and  $R^1$  and  $R^2$  are independently nitro, cyano,  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy, hydroxyl, aryl,  $\text{Y}(\text{CR}^3_2)_p\text{NR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CONR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CO}_2\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OR}^6$ ,  
 5  $\text{Y}(\text{CR}^3_2)_p\text{R}^6$ ,  $-\text{CH}_2(\text{CH}_2)_p\text{OCOR}^6$  or  $R^1$  and  $R^2$  are linked together as  $-\text{OCH}_2\text{O}-$  or  $-\text{OCH}_2\text{CH}_2\text{O}-$ ,

where each  $R^3$  group is independently hydrogen,  $\text{C}_1\text{-C}_8$  alkyl, hydroxy, or halogen,  $R^4$  and  $R^5$  each independently represent hydrogen or  $\text{C}_1\text{-C}_8$  alkyl or  $R^4$  and  $R^5$  together with the nitrogen atom to which they are attached form an unsubstituted 4- to 7-membered  
 10 saturated or aromatic heterocyclic ring system optionally containing a further oxygen, sulphur or  $\text{NR}^6$  group or one of  $R^4$  and  $R^5$  is hydrogen or  $\text{C}_1\text{-C}_8$  alkyl and the other is a 5- or 6-membered heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom;

and  $R^6$  is selected from hydrogen,  $(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}(\text{C}_1\text{-C}_8)$  alkyl, hydroxy substituted  
 15  $(\text{C}_1\text{-C}_8)$  alkyl, halogen substituted  $(\text{C}_1\text{-C}_8)$  alkyl, phenyl or benzyl,

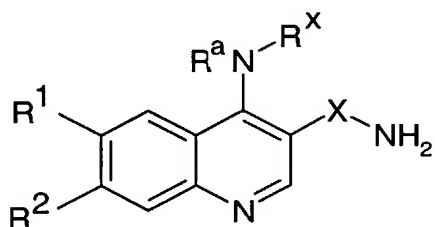
then

Ar is selected from dihydroisoquinolyl, oxodihydroisoquinolyl, tetrahydroisoquinolyl or  
 20 oxotetrahydroisoquinolyl, each of which may be optionally substituted, or Ar is phenyl substituted by at least one substituent selected from azido substituted  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkyl- $\text{NR}^{11}\text{R}^{12}$ ,  $\text{C}_1\text{-C}_8$  alkyl- $\text{OR}^{12}$  or  $\text{C}_1\text{-C}_8$  alkyl- $\text{SR}^{12}$ , wherein  $R^{12}$  is selected from  $-(\text{CR}^{13}_2)_n\text{R}^{14}$ ,  $-\text{CO}-(\text{CR}^{13}_2)_n\text{R}^{14}$ ,  $-\text{SO}_2-(\text{CR}^{13}_2)_n\text{R}^{14}$  or  $R^{11}$  and  $R^{12}$ , together with the nitrogen atom to which they are attached form a 4- to 10-membered  
 25 saturated or unsaturated heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by one or more hydroxy, hydroxy $(\text{C}_1\text{-C}_8)$ alkyl,  $\text{C}_1\text{-C}_8$  alkyl (which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom,  
 30 the ring being optionally substituted by one or more hydroxy,  $(\text{C}_1\text{-C}_8)$ alkyl,  $\text{C}_1\text{-C}_8$  alkyl,

nitro,  $-\text{CONH}_2$  groups), nitro, cyano,  $-\text{CONH}_2$ , amino,  $=\text{O}$  or  $-\text{COOH}$  groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur and which may be optionally substituted by one or more substituents selected from  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy or ( $\text{C}_1\text{-C}_8$  alkoxy)- $\text{CO}-$ ,

provided that Ar is not phenyl substituted by one or more groups selected from  $\text{C}_1\text{-C}_8$  alkyl- $\text{NR}^{11}$ -  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkyl-O- $\text{C}_1\text{-C}_8$  alkyl or  $\text{C}_1\text{-C}_6$  alkanoyloxy  $\text{C}_1\text{-C}_6$  alkyl.

2. A compound of formula (Ia)



(Ia)

or a pharmaceutically acceptable salt or solvate thereof, wherein

X is  $-\text{CHOH}$  or  $-\text{C}=\text{O}$ ;

one of  $\text{R}^1$  and  $\text{R}^2$  represents nitro, cyano,  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy, hydroxy, aryl,  $\text{Y}(\text{CR}^3_2)_p\text{NR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CONR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CO}_2\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OR}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OCOR}^6$

or  $\text{R}^1$  and  $\text{R}^2$  are linked together as  $-\text{OCH}_2\text{O}-$  or  $-\text{OCH}_2\text{CH}_2\text{O}-$ ;

$\text{R}^3$  groups are independently hydrogen,  $\text{C}_1\text{-C}_8$  alkyl, hydroxy,  $\text{C}_1\text{-C}_8$  alkoxy or halogen; p is 0, 1, 2, 3, 4 or 5;

Y is oxygen,  $\text{CH}_2$ ,  $-\text{OSO}_2-$  or  $\text{NR}^7$

$\text{R}^4$  and  $\text{R}^5$  each independently represent hydrogen or a group selected from  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  cycloalkyl,  $-\text{SO}_2-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$

- alkoxy,  $-\text{CO}-\text{NR}^7(\text{C}_1-\text{C}_8)$  alkyl,  $\text{C}_3-\text{C}_8$  cycloalkyl, each of which groups may optionally be substituted by one or more hydroxy, cyano,  $-\text{CONH}_2$  or  $-\text{CO}-(\text{C}_1-\text{C}_8)$  alkoxy groups, or  $\text{R}^4$  and  $\text{R}^5$  together with the nitrogen atom to which they are attached form a 4- to 7-membered, saturated or aromatic heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by at least one substituent selected from hydroxy,  $\text{C}_1-\text{C}_8$  alkyl,  $=\text{O}$ ,  $\text{C}_1-\text{C}_8$  alkoxy or  $(\text{C}_1-\text{C}_8 \text{ alkoxy})-\text{CO}-$ , or one of  $\text{R}^4$  and  $\text{R}^5$  is hydrogen or  $\text{C}_1-\text{C}_8$  alkyl and the other is a 5- or 6-membered heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom;
- $\text{R}^6$  is hydrogen,  $\text{C}_1-\text{C}_8$  alkyl (itself optionally substituted by one or more hydroxy, cyano, halogen or amino groups), phenyl, benzyl,  $-\text{CO}(\text{C}_1-\text{C}_8)$  alkyl or a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, the ring itself being optionally substituted by at least one substituent selected from  $\text{C}_1-\text{C}_8$  alkyl,  $\text{C}_1-\text{C}_8$  alkoxy,  $=\text{O}$ ,  $\text{C}_1-\text{C}_8$  alkyl  $-\text{CO}-$ , or  $(\text{C}_1-\text{C}_8 \text{ alkoxy})-\text{CO}-$  where any  $\text{C}_1-\text{C}_8$  alkyl is optionally substituted by one or more hydroxy, cyano, halogen or amino groups;
- $\text{R}^7$  is hydrogen or  $\text{C}_1-\text{C}_8$  alkyl;
- $\text{R}^a$  is hydrogen or  $\text{C}_1-\text{C}_8$  alkyl;
- $\text{R}^x$  is a group selected from  $\text{C}_1-\text{C}_8$  alkyl,  $\text{C}_3-\text{C}_8$  cycloalkyl or a saturated monocyclic 4- to 7-membered ring comprising one or more heteroatoms selected from nitrogen, oxygen and sulphur, wherein any  $\text{C}_3-\text{C}_8$  cycloalkyl group or saturated monocyclic 4- to 7-membered ring is optionally substituted by one or more groups selected from hydroxy, azido, cyano, amino, halogen,  $-\text{CONH}_2-$ ,  $\text{C}_1-\text{C}_8$  alkyl,  $(\text{C}_1-\text{C}_8 \text{ alkyl})\text{CO}-$ ,  $\text{C}_1-\text{C}_8$  alkoxy, or  $(\text{C}_1-\text{C}_8 \text{ alkoxy})-\text{CO}-$ , and any  $\text{C}_1-\text{C}_8$  alkyl,  $(\text{C}_1-\text{C}_8 \text{ alkyl})\text{CO}-$ ,  $\text{C}_1-\text{C}_8$  alkoxy, or  $(\text{C}_1-\text{C}_8 \text{ alkoxy})-\text{CO}-$  group is itself optionally substituted by one or more substituents selected from hydroxy, azido, cyano, amino, halogen or phenyl; or  $\text{R}^x$  is a group Ar;
- Ar is selected from phenyl, tetrahydronaphthenyl, indolyl, pyrazolyl, dihydroindenyl, 1-oxo-2,3-dihydroindenyl, indazolyl, dihydroisoquinolyl, oxodihydroisoquinolyl, tetrahydroisoquinolyl or oxotetrahydroisoquinolyl, each of which can be optionally substituted by one or more groups, which may be the same or different, selected from

halogen, hydroxy, cyano, C<sub>1</sub>-C<sub>8</sub> alkoxy, CO<sub>2</sub>R<sup>8</sup>, CONR<sup>9</sup>R<sup>10</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>8</sup>-C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-CONR<sup>8</sup>-C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-CONR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>COC<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> thioalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl (itself optionally substituted by one or more hydroxy, azido or cyano groups or fluorine atoms), C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>11</sup>R<sup>12</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-OR<sup>12</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl-SR<sup>12</sup>;

5 R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>9</sup> and R<sup>10</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl

R<sup>11</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>12</sup> is hydrogen or a group selected from C<sub>1</sub>-C<sub>8</sub> alkyl, -(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>,

-CO-(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>, -SO<sub>2</sub>-(CR<sup>13</sup><sub>2</sub>)<sub>n</sub>R<sup>14</sup>;

10 n is between 0 and 5;

R<sup>13</sup> groups are independently hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>8</sub> alkoxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, amino or halogen;

R<sup>14</sup> is hydrogen or a group selected from -NR<sup>15</sup>R<sup>16</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, -COOH, -S(C<sub>1</sub>-C<sub>8</sub> alkyl), -SO(C<sub>1</sub>-C<sub>8</sub> alkyl), -CONR<sup>15</sup>R<sup>16</sup>, -CO(C<sub>1</sub>-C<sub>8</sub> alkyl),

15 -CO-O-(C<sub>1</sub>-C<sub>8</sub> alkyl), or a saturated or unsaturated 4- to 10-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, each of which groups may be optionally substituted by one or more hydroxy, C<sub>1</sub>-C<sub>8</sub> alkyl(which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> hydroxyalkyl, -C=O, cyano, amino, nitro, halogen, C<sub>1</sub>-C<sub>8</sub> alkylsulphonyl or aminosulphonyl groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur;

25 or R<sup>11</sup> and R<sup>12</sup>, together with the nitrogen atom to which they are attached form a 4- to 10-membered saturated or unsaturated heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl(which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom,

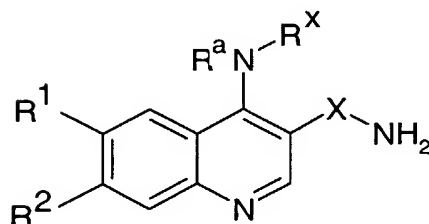
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the ring being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), nitro, cyano, -CONH<sub>2</sub>, amino, =O or -COOH groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur and which may be optionally substituted by one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO-; and

R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, -CONH<sub>2</sub> or -C(NH<sub>2</sub>)=NH;

and the other of R<sup>1</sup> and R<sup>2</sup> is Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>NR<sup>4</sup>R<sup>5</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>CONR<sup>4</sup>R<sup>5</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>CO<sub>2</sub>R<sup>6</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>OR<sup>6</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>R<sup>6</sup> or Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>OCOR<sup>6</sup>, where at least one R<sup>3</sup> is C<sub>1</sub>-C<sub>8</sub> alkoxy, or one of R<sup>4</sup> and R<sup>5</sup> is selected from optionally substituted -CO-(C<sub>1</sub>-C<sub>8</sub>) alkyl, -CO-(C<sub>1</sub>-C<sub>8</sub>) cycloalkyl, -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>) alkyl, -CO-(C<sub>1</sub>-C<sub>8</sub>) alkoxy, -CO-NR<sup>7</sup>(C<sub>1</sub>-C<sub>8</sub>) alkyl or C<sub>3</sub>-C<sub>8</sub> cycloalkyl, or R<sup>4</sup> and R<sup>5</sup> together with the nitrogen atom to which they are attached form a substituted 4- to 7-membered saturated or aromatic heterocyclic ring system optionally containing a further oxygen, sulphur or NR<sup>6</sup> group, or R<sup>6</sup> is selected from -CO(C<sub>1</sub>-C<sub>8</sub>) alkyl or an optionally substituted saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, and which may be optionally substituted by at least one substituent selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, =O, C<sub>1</sub>-C<sub>8</sub> alkyl -CO-, or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO- where any C<sub>1</sub>-C<sub>8</sub> alkyl is optionally substituted by one or more hydroxy, cyano, halogen or amino groups

### 3. A compound of formula (Ib)





(Ib)

or a pharmaceutically acceptable salt or solvate thereof, wherein

X is  $-\text{CHOH}$  or  $-\text{C}=\text{O}$ ;

- 5  $\text{R}^1$  and  $\text{R}^2$ , which may be the same or different, represent nitro, cyano,  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy, hydroxy, aryl,  $\text{Y}(\text{CR}^3_2)_p\text{NR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CONR}^4\text{R}^5$ ,  $\text{Y}(\text{CR}^3_2)_p\text{CO}_2\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OR}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{R}^6$ ,  $\text{Y}(\text{CR}^3_2)_p\text{OCOR}^6$

or  $\text{R}^1$  and  $\text{R}^2$  are linked together as  $-\text{OCH}_2\text{O}-$  or  $-\text{OCH}_2\text{CH}_2\text{O}-$ ; $\text{R}^3$  groups are independently hydrogen,  $\text{C}_1\text{-C}_8$  alkyl, hydroxy,  $\text{C}_1\text{-C}_8$  alkoxy or halogen;

- 10 p is 0, 1, 2, 3, 4 or 5;

Y is oxygen,  $\text{CH}_2$ ,  $-\text{OSO}_2-$  or  $\text{NR}^7$ 

- $\text{R}^4$  and  $\text{R}^5$  each independently represent hydrogen or a group selected from  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  cycloalkyl,  $-\text{SO}_2-(\text{C}_1\text{-C}_8)$  alkyl,  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkoxy,  $-\text{CO}-\text{NR}^7(\text{C}_1\text{-C}_8)$  alkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl, each of which groups may optionally be
- 15 substituted by one or more hydroxy, cyano,  $-\text{CONH}_2$  or  $-\text{CO}-(\text{C}_1\text{-C}_8)$  alkoxy groups, or  $\text{R}^4$  and  $\text{R}^5$  together with the nitrogen atom to which they are attached form a 4- to 7-membered, saturated or aromatic heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by at least one substituent selected from hydroxy,  $\text{C}_1\text{-C}_8$  alkyl,  $=\text{O}$ ,
- 20  $\text{C}_1\text{-C}_8$  alkoxy or  $(\text{C}_1\text{-C}_8 \text{ alkoxy})-\text{CO}-$ , or one of  $\text{R}^4$  and  $\text{R}^5$  is hydrogen or  $\text{C}_1\text{-C}_8$  alkyl and the other is a 5- or 6-membered heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom;

- $\text{R}^6$  is hydrogen,  $\text{C}_1\text{-C}_8$  alkyl (itself optionally substituted by one or more hydroxy, cyano, halogen or amino groups), phenyl, benzyl,  $-\text{CO}(\text{C}_1\text{-C}_8)$  alkyl or a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, the ring itself being optionally substituted by at least one substituent selected from  $\text{C}_1\text{-C}_8$  alkyl,  $\text{C}_1\text{-C}_8$  alkoxy,  $=\text{O}$ ,  $\text{C}_1\text{-C}_8$  alkyl  $-\text{CO}-$ , or  $(\text{C}_1\text{-C}_8 \text{ alkoxy})-\text{CO}-$  where any  $\text{C}_1\text{-C}_8$  alkyl is optionally substituted by one or more hydroxy, cyano, halogen or amino groups;
- 25

- 30  $\text{R}^7$  is hydrogen or  $\text{C}_1\text{-C}_8$  alkyl;

$R^a$  is hydrogen or  $C_1$ - $C_8$  alkyl;

$R^x$  is a group selected from  $C_1$ - $C_8$  alkyl,  $C_3$ - $C_8$  cycloalkyl or a saturated monocyclic 4- to 7-membered ring comprising one or more heteroatoms selected from nitrogen, oxygen and sulphur, wherein any  $C_3$ - $C_8$  cycloalkyl group or saturated monocyclic 4- to 7-membered ring is optionally substituted by one or more groups selected from hydroxy, azido, cyano, amino, halogen,  $-\text{CONH}_2$ -,  $C_1$ - $C_8$  alkyl,  $(C_1$ - $C_8$  alkyl) $\text{CO}$ -,  $C_1$ - $C_8$  alkoxy, or  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ -, and any  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl) $\text{CO}$ -,  $C_1$ - $C_8$  alkoxy, or  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ - group is itself optionally substituted by one or more substituents selected from hydroxy, azido, cyano, amino, halogen or phenyl; or  $R^x$  is a group Ar;

- 10 Ar is selected from dihydroisoquinolyl, oxodihydroisoquinolyl, tetrahydroisoquinolyl or oxotetrahydroisoquinolyl, each of which can be optionally substituted by one or more groups, which may be the same or different, selected from halogen, hydroxy, cyano,  $C_1$ - $C_8$  alkoxy,  $\text{CO}_2R^8$ ,  $\text{CONR}^9R^{10}$ ,  $C_1$ - $C_8$  alkyl- $\text{NR}^8$ - $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{CONR}^8$ - $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{CONR}^9R^{10}$ ,  $\text{NR}^8\text{COC}_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  thioalkyl,  $C_1$ - $C_8$  alkyl (itself optionally substituted by one or more hydroxy, azido or cyano groups or fluorine atoms),  $C_1$ - $C_8$  alkyl- $\text{NR}^{11}R^{12}$ ,  $C_1$ - $C_8$  alkyl- $\text{OR}^{12}$ ,  $C_1$ - $C_8$  alkyl- $\text{SR}^{12}$ ;

or Ar is phenyl substituted by at least one substituent selected from azido substituted  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{NR}^{11}R^{12a}$ ,  $C_1$ - $C_8$  alkyl- $\text{OR}^{12a}$ ,  $C_1$ - $C_8$  alkyl- $\text{SR}^{12a}$ , wherein  $R^{12a}$  is selected from  $-(\text{CR}^{13}_2)_nR^{14}$ ,  $-\text{CO}-(\text{CR}^{13}_2)_nR^{14}$ ,  $-\text{SO}_2-(\text{CR}^{13}_2)_nR^{14}$ ;

- 20  $R^8$  is hydrogen or  $C_1$ - $C_8$  alkyl;

$R^9$  and  $R^{10}$  are each independently hydrogen or  $C_1$ - $C_8$  alkyl

$R^{11}$  is hydrogen or  $C_1$ - $C_8$  alkyl;

$R^{12}$  is hydrogen or a group selected from  $C_1$ - $C_8$  alkyl,  $-(\text{CR}^{13}_2)_nR^{14}$ ,  $-\text{CO}-(\text{CR}^{13}_2)_nR^{14}$ ,  $-\text{SO}_2-(\text{CR}^{13}_2)_nR^{14}$ ;

- 25 n is between 0 and 5;

$R^{13}$  groups are independently hydrogen,  $C_1$ - $C_8$  alkyl, hydroxy,  $C_1$ - $C_8$  alkoxy, hydroxy( $C_1$ - $C_8$ )alkyl, amino or halogen;

$R^{14}$  is hydrogen or a group selected from  $-\text{NR}^{15}R^{16}$ ,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $-\text{COOH}$ ,  $-\text{S}(C_1$ - $C_8$  alkyl),  $-\text{SO}(C_1$ - $C_8$  alkyl),  $-\text{CONR}^{15}R^{16}$ ,  $-\text{CO}(C_1$ - $C_8$  alkyl),

-CO-O-(C<sub>1</sub>-C<sub>8</sub> alkyl), or a saturated or unsaturated 4- to 10-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur, each of which groups may be optionally substituted by one or more hydroxy, C<sub>1</sub>-C<sub>8</sub> alkyl(which may itself optionally be substituted by a 4- to 7-membered saturated or

5 unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> hydroxyalkyl, -C=O, cyano, amino, nitro, halogen, C<sub>1</sub>-C<sub>8</sub> alkylsulphonyl or aminosulphonyl groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or

10 more heteroatoms selected from nitrogen, oxygen and sulphur;  
or R<sup>11</sup> and R<sup>12</sup>, together with the nitrogen atom to which they are attached form a 4- to 10-membered saturated or unsaturated heterocyclic ring system optionally containing one or more additional heteroatoms selected from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl(which

15 may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), nitro, cyano, -CONH<sub>2</sub>, amino, =O or -COOH groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or

20 more heteroatoms selected from nitrogen, oxygen and sulphur and which may be optionally substituted by one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO-; and  
R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, -CONH<sub>2</sub> or -C(NH<sub>2</sub>)=NH,

25 provided that Ar is not phenyl substituted by one or more groups selected from  
C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>11</sup>, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-O-C<sub>1</sub>-C<sub>8</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkanoyloxy C<sub>1</sub>-C<sub>6</sub> alkyl.

4. A compound according to any of claims 1 to 3 wherein X is C=O.

5. A compound according to any of claims 1 to 4 wherein  $R^x$  is a group selected from  $C_1$ - $C_8$  alkyl,  $C_3$ - $C_8$  cycloalkyl or a saturated monocyclic 4- to 7-membered ring comprising one or more heteroatoms selected from nitrogen, oxygen and sulphur, wherein any  $C_3$ - $C_8$  cycloalkyl group or saturated monocyclic 4- to 7-membered ring is optionally substituted by one or more groups selected from hydroxy, azido, cyano, amino, halogen,  $-\text{CONH}_2$ -,  $C_1$ - $C_8$  alkyl,  $(C_1$ - $C_8$  alkyl) $\text{CO}$ -,  $C_1$ - $C_8$  alkoxy, or  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ -, and any  $C_1$ - $C_8$  alkyl,  $(C_1$ - $C_8$  alkyl) $\text{CO}$ -,  $C_1$ - $C_8$  alkoxy, or  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ - group is itself optionally substituted by one or more substituents selected from hydroxy, azido, cyano, amino, halogen or phenyl.
6. A compound according to any of claims 1 to 5 wherein  $R^x$  is a saturated monocyclic 4- to 7-membered ring comprising one or more heteroatoms selected from nitrogen, oxygen and sulphur, which ring is substituted by one or more  $C_1$ - $C_8$  alkyl,  $(C_1$ - $C_8$  alkyl) $\text{CO}$ -,  $C_1$ - $C_8$  alkoxy, or  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ - groups, each of which groups is optionally substituted with one or more substituents selected from hydroxy, azido, cyano, amino, halogen,  $-\text{CONH}_2$ ,  $C_1$ - $C_8$  alkoxy,  $(C_1$ - $C_8$  alkoxy)- $\text{CO}$ - or phenyl.
7. A compound according to any of claims 1 to 4 wherein  $R^x$  is a group Ar.
8. A compound according to any of claims 1 or 2 wherein Ar is phenyl optionally substituted by one or more groups, which may be the same or different, selected from halogen, hydroxy, cyano,  $C_1$ - $C_8$  alkoxy,  $\text{CO}_2\text{R}^8$ ,  $\text{CONR}^9\text{R}^{10}$ ,  $C_1$ - $C_8$  alkyl- $\text{NR}^8$ - $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{CONR}^8$ - $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{CONR}^9\text{R}^{10}$ ,  $\text{NR}^8\text{COC}_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  thioalkyl,  $C_1$ - $C_8$  alkyl (itself optionally substituted by one or more hydroxy, azido or cyano groups or fluorine atoms),  $C_1$ - $C_8$  alkyl- $\text{NR}^{11}\text{R}^{12}$ ,  $C_1$ - $C_8$  alkyl- $\text{OR}^{12}$ ,  $C_1$ - $C_8$  alkyl- $\text{SR}^{12}$ .
9. A compound according to claim 3 or 8 wherein Ar is phenyl substituted by at least one substituent selected from azido substituted  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkyl- $\text{NR}^{11}\text{R}^{12a}$ ,  $C_1$ - $C_8$  alkyl- $\text{OR}^{12a}$ ,  $C_1$ - $C_8$  alkyl- $\text{SR}^{12a}$ , wherein  $\text{R}^{12a}$  is selected from  $-(\text{CR}^{13}_2)_n\text{R}^{14}$ ,  $-\text{CO}-(\text{CR}^{13}_2)_n\text{R}^{14}$ ,  $-\text{SO}_2-(\text{CR}^{13}_2)_n\text{R}^{14}$  provided that Ar is not phenyl substituted by one or more groups selected

from C<sub>1</sub>-C<sub>8</sub> alkyl-NR<sup>11</sup> - C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl-O-C<sub>1</sub>-C<sub>8</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkanoyloxy C<sub>1</sub>-C<sub>6</sub> alkyl.

10. A compound according to claim 9 wherein Ar is phenyl substituted by one or more -  
 5 CH<sub>2</sub>NR<sup>11</sup>R<sup>12</sup> groups.

11. A compound according to claim 10 wherein R<sup>11</sup> and R<sup>12</sup> together with the nitrogen atom to which they are attached form a 4- to 10-membered saturated or unsaturated heterocyclic ring system optionally containing one or more additional heteroatoms selected  
 10 from oxygen, sulphur or nitrogen, the ring itself being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl (which may itself optionally be substituted by a 4- to 7-membered saturated or unsaturated heterocyclic ring system optionally containing a further oxygen, sulphur or nitrogen atom, the ring being optionally substituted by one or more hydroxy, hydroxy(C<sub>1</sub>-C<sub>8</sub>)alkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, nitro, -CONH<sub>2</sub> groups), nitro,  
 15 cyano, -CONH<sub>2</sub>, amino, =O or -COOH groups or by a saturated monocyclic 4- to 7-membered ring, which ring may optionally comprise one or more heteroatoms selected from nitrogen, oxygen and sulphur and which may be optionally substituted by one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy or (C<sub>1</sub>-C<sub>8</sub> alkoxy)-CO-.

20 12. A compound according to any of claims 1 to 11 wherein R<sup>1</sup> and R<sup>2</sup> independently represent C<sub>1</sub>-C<sub>8</sub> alkoxy, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>NR<sup>4</sup>R<sup>5</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>CONR<sup>4</sup>R<sup>5</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>CO<sub>2</sub>R<sup>6</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>OR<sup>6</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>OCOR<sup>6</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>R<sup>6</sup>.

13. A compound according to claim 12 wherein R<sup>1</sup> and R<sup>2</sup> are both C<sub>1</sub>-C<sub>8</sub> alkoxy, or one  
 25 of R<sup>1</sup> and R<sup>2</sup> is C<sub>1</sub>-C<sub>8</sub> alkoxy and the other is Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>NR<sup>4</sup>R<sup>5</sup>, Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>OR<sup>6</sup> or Y(CR<sup>3</sup><sub>2</sub>)<sub>p</sub>R<sup>6</sup>.

14. A compound according to claim 1 which is  
 6,7-diethoxy-4-{[2-ethyl-3-(1H-imidazol-1-yl)methyl]phenyl}amino}quinoline-3-  
 30 carboxamide

- 6,7-diethoxy-4-{[2-methyl-3-(1H-1,2,4-triazol-1-ylmethyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-(morpholin-4-ylmethyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[3-(1H-imidazol-1-ylmethyl)-2-methylphenyl]amino}quinoline-3-carboxamide
- 5 4-{[3-(azidomethyl)-2-methylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-methyl-3-(4H-1,2,4-triazol-4-ylmethyl)phenyl]amino}quinoline-3-carboxamide
- 4-{[3-({[4-(aminosulfonyl)benzyl]amino}methyl)-2-ethylphenyl]amino}-6,7-dimethoxyquinoline-3-carboxamide
- 10 4-({[2-ethyl-3-[(1H-1,2,4-triazol-5-ylamino)methyl]phenyl]amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino}-6,7-dimethoxyquinoline-3-carboxamide
- 15 6,7-diethoxy-4-({[2-ethyl-3-[(pyrimidin-2-ylamino)methyl]phenyl]amino)quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxycyclohexyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(3-thienylmethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 20 6,7-diethoxy-4-({[2-ethyl-3-[(1H-imidazol-2-ylthio)methyl]phenyl]amino)quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-(thiomorpholin-4-ylmethyl)phenyl]amino}quinoline-3-carboxamide
- 25 6,7-diethoxy-4-[(2-ethyl-3-{{(3-thienylmethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 4-({[2-ethyl-3-[(4-nitro-1H-imidazol-1-yl)methyl]phenyl]amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-[(2-ethyl-3-{{[4-(hydroxymethyl)-1H-imidazol-1-yl]methyl}phenyl)amino]-6,7-dimethoxyquinoline-3-carboxamide
- 30

- 4-({2-ethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 1-3-{[3-(aminocarbonyl)-6,7-dimethoxyquinolin-4-yl]amino}-2-ethylbenzyl)-1H-imidazole-4-carboxylic acid
- 5 4-({3-[(cyclopentylamino)methyl]-2-ethylphenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-({2-ethyl-3-({[2-(1H-imidazol-4-yl)ethyl]amino} methyl)phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-[(2-ethyl-3-{{[2-(hydroxy-1,1-dimethylethyl)amino]methyl}phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 10 4-({2-ethyl-3-[(1,3-thiazol-2-ylamino)methyl]phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-[(2-ethyl-3-{{[2-(hydroxypropyl)amino]methyl}phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 15 4-[(2-ethyl-3-{{[2-(hydroxy-2-phenylethyl)amino]methyl}phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide bis(trifluoroacetate)
- 4-({2-ethyl-3-({[4-(methylsulfonyl)benzyl]amino} methyl)phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-({3-[(benzylamino)methyl]-2-ethylphenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 20 4-({2-ethyl-3-[(3-methyl-2,5-dioxoimidazolidin-1-yl)methyl]phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-({2-ethyl-3-[(1H-tetrazol-5-ylamino)methyl]phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 25 4-({3-[(5-amino-1H-tetrazol-1-yl)methyl]-2-ethylphenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-({2-ethyl-3-({[2-(2-oxoimidazolidin-1-yl)ethyl]amino} methyl)phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-({2-ethyl-3-{{[(2S)-2-hydroxycyclohexyl]amino} methyl}phenyl} amino)-6,7-dimethoxyquinoline-3-carboxamide
- 30

- 4-({2-ethyl-3-[(piperidin-4-ylamino)methyl]phenyl}amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-{{2-ethyl-3-({[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino}methyl)phenyl}amino}-6,7-dimethoxyquinoline-3-carboxamide
- 5 6,7-diethoxy-4-[(2-ethyl-3-{[4-(3-methoxyphenyl)piperazin-1-yl]methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{[4-(hydroxymethyl)piperidin-1-yl]methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{[2-(hydroxymethyl)piperidin-1-yl]methyl}phenyl)amino]quinoline-3-carboxamide
- 10 4-{{[3-(1,4'-bipiperidin-1'-ylmethyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 4-[(3-{[4-(aminocarbonyl)piperidin-1-yl]methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 15 4-[(3-{[4-(2-cyanophenyl)piperazin-1-yl]methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 4-[(3-{[4-(5-cyanopyridin-2-yl)piperazin-1-yl]methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{[(3-furylmethyl)amino]methyl}phenyl)amino]quinoline-3-carboxamide
- 20 6,7-diethoxy-4-[(2-ethyl-3-{[4-(2-hydroxyethyl)piperazin-1-yl]methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(4-hydroxypiperidin-1-yl)methyl]phenyl}amino)quinoline-3-carboxamide
- 25 4-{{[3-({[2-(1,3-benzodioxol-5-yl)ethyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-({[2-(2-thienyl)ethyl]amino}methyl)phenyl}amino}quinoline-3-carboxamide
- 4-{{[3-({[(2,5-dimethyl-3-furyl)methyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
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- 6,7-diethoxy-4-{{2-ethyl-3-{{3-(2-oxopyrrolidin-1-yl)propyl}amino}methyl}phenyl}amino}quinoline-3-carboxamide
- 4-{{3-{{2-(3-chlorophenyl)ethyl}amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 5 4-{{3-{{2-(4-chlorophenyl)ethyl}amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 4-{{3-{{2-(2-chlorophenyl)ethyl}amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-{{(2-hydroxy-2-phenylethyl)amino}methyl}phenyl}amino}quinoline-3-carboxamide
- 10 4-{{3-{{(cyclopentylamino)methyl}-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-{{2-(1H-imidazol-4-yl)ethyl}amino}methyl}phenyl}amino}quinoline-3-carboxamide
- 15 6,7-diethoxy-4-{{2-ethyl-3-{{4-(2-morpholin-4-ylethyl)piperazin-1-yl}methyl}phenyl}amino}quinoline-3-carboxamide
- 4-{{3-{{2-(2,2-dimethyl-1,3-dioxolan-4-yl)methyl}amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-{{(1,3-thiazol-2-ylamino)methyl}phenyl}amino}quinoline-3-carboxamide
- 20 6,7-diethoxy-4-{{2-ethyl-3-{{1,3-thiazolidin-3-ylmethyl}phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-{{(2-pyridin-2-ylethyl)amino}methyl}phenyl}amino}quinoline-3-carboxamide
- 25 6,7-diethoxy-4-{{2-ethyl-3-{{(1H-1,2,4-triazol-3-ylamino)methyl}phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-{{4-(2-thienyl)benzyl}amino}methyl}phenyl}amino}quinoline-3-carboxamide
- 4-{{3-{{4-(aminosulfonyl)benzyl}amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
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- 6,7-diethoxy-4-{[2-ethyl-3-({[2-(1H-indol-3-yl)ethyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[3-(4-methylpiperazin-1-yl)propyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 5 6,7-diethoxy-4-[(2-ethyl-3-{{(1-ethylpiperidin-3-yl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{[4-(pyridin-4-ylmethyl)piperazin-1-yl]methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(pyridin-4-ylmethyl)amino}methyl}phenyl)amino]quinoline-3-
- 10 carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(pyridin-3-ylmethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 4-({3-[(benzylamino)methyl]-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-furylmethyl)amino}methyl}phenyl)amino]quinoline-3-
- 15 carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-methoxyethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxypropyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 20 6,7-diethoxy-4-{[2-ethyl-3-({[4-(1H-pyrazol-1-yl)benzyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 4-({3-({[2-[4-(aminosulfonyl)phenyl]ethyl}amino)methyl]-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[2-(1-methylpyrrolidin-2-
- 25 yl)ethyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 4-[(3-{{[4-chlorobenzyl]amino}methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 4-[(3-{{(1-benzylpiperidin-4-yl)amino}methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide

- 6,7-diethoxy-4-[(2-ethyl-3-[(3-methoxybenzyl)amino]methyl)phenyl]amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-[(4-methoxybenzyl)amino]methyl)phenyl]amino]quinoline-3-carboxamide
- 5 6,7-diethoxy-4-{[2-ethyl-3-([3-(1H-imidazol-1-yl)propyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino)methyl]phenyl]amino}quinoline-3-carboxamide bis(trifluoroacetate) (salt)
- 6,7-diethoxy-4-{[2-ethyl-3-([2-hydroxy-1-(1H-indol-2-ylmethyl)ethyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide bis(trifluoroacetate) (salt)
- 10 6,7-diethoxy-4-{[2-ethyl-3-([(1R)-2-hydroxy-1-phenylethyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide bis(trifluoroacetate) (salt)
- 15 6,7-Diethoxy-4-{2-ethyl-3-[(2-hydroxy-1-methylcarbamoyl-propylamino)-methyl]-phenylamino}-quinoline-3-carboxylic acid amide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1R,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 20 methyl N-(3-{[3-(aminocarbonyl)-6,7-diethoxyquinolin-4-yl]amino}-2-ethylbenzyl)serinate bis(trifluoroacetate)
- 6,7-diethoxy-4-{[2-ethyl-3-([2-hydroxy-1-(hydroxymethyl)ethyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 25 6,7-diethoxy-4-{[2-ethyl-3-([1-(hydroxymethyl)-3-methylbutyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-[(2-pyrrolidin-1-ylethyl)amino]methyl)phenyl]amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1S,2R)-2-hydroxy-1-(hydroxymethyl)propyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
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- 6,7-diethoxy-4-{[2-ethyl-3-({[(1S)-1-(hydroxymethyl)-3-methylbutyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[1-(hydroxymethyl)butyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 5 4-{3-[(1-Carbamoyl-2-hydroxy-propylamino)-methyl]-2-ethyl-phenylamino}-6,7-diethoxyquinoline-3-carboxylic acid amide
- 6,7-diethoxy-4-[(2-ethyl-3-{{[(1R,2R)-2-hydroxy-1-methyl-2-phenylethyl](methyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxy-1-methyl-2-phenylethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 10 4-{{3-{{(2-(3,4-dihydroxyphenyl)-2-hydroxyethyl)amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxypropyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 15 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxy-1-methylethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(2-hydroxyethyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 4-[(3-{{(2,3-dihydroxypropyl)amino}methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-
- 20 3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[2-(hydroxymethyl)phenyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 4-{{3-{{[(1S)-1-benzyl-2-hydroxyethyl]amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide bis(trifluoroacetate)
- 25 4-{{3-{{(2-(dimethylamino)ethyl)amino}methyl)-2-ethylphenyl}amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[4-(methylsulfonyl)phenyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(1S)-2-hydroxy-1-phenylethyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
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- 6,7-diethoxy-4-[(2-ethyl-3-[(2R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl]phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1S,2S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino)methyl]phenyl}amino}quinoline-3-carboxamide
- 5 6,7-diethoxy-4-[(2-ethyl-3-[(2-morpholin-4-ylethyl)amino]methyl]phenyl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1R,2S)-2-hydroxy-2-(4-hydroxyphenyl)-1-methylethyl]amino)methyl]phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(1R,2R)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino)methyl]phenyl}amino}quinoline-3-carboxamide
- 10 6,7-Diethoxy-4-{2-ethyl-3-[(2-hydroxy-1-hydroxymethyl-2-phenyl-ethylamino)-methyl]-phenylamino}-quinoline-3-carboxylic acid amide
- 4-[(3-[(2-cyanoethyl)amino]methyl)-2-ethylphenyl]amino]-6,7-diethoxyquinoline-3-carboxamide
- 15 6,7-diethoxy-4-{[2-ethyl-3-([1-(hydroxymethyl)-2-methylpropyl]amino)methyl]phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([4-(methylsulfonyl)benzyl]amino)methyl]phenyl}amino}quinoline-3-carboxamide
- tert-butyl (3-{[3-(aminocarbonyl)-6,7-diethoxyquinolin-4-yl]amino}-2-ethylbenzyl)carbamate
- 20 4-{[3-(aminomethyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 4-{[3-(aminomethyl)-2-methylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-[(L-tyrosylamino)methyl]phenyl]amino)quinoline-3-carboxamide
- 25 6,7-diethoxy-4-{[3-([(ethylamino)carbonyl]amino)methyl]-2-methylphenyl]amino}quinoline-3-carboxamide
- 4-([3-[(acetylamino)methyl]-2-methylphenyl]amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-([2-methyl-3-([(4-methyl-2,5-dioxoimidazolidin-4-yl)methyl]sulfonyl)amino)methyl]phenyl]amino)quinoline-3-carboxamide
- 30 4-([3-[(acetylamino)methyl]-2-ethylphenyl]amino)-6,7-dimethoxyquinoline-3-carboxamide

- 4-{{2-ethyl-3-({[(ethylamino)carbonyl]amino}methyl)phenyl}amino}-6,7-dimethoxyquinoline-3-carboxamide
- 4-[(2-ethyl-3-{{(methylsulfonyl)amino}methyl}phenyl)amino]-6,7-dimethoxyquinoline-3-carboxamide
- 5 4-({2-ethyl-3-[(L-valylamino)methyl]phenyl}amino)-6,7-dimethoxyquinoline-3-carboxamide
- 4-[(3-{{(3-cyclohexyl-L-alanyl)amino}methyl}-2-ethylphenyl)amino]-6,7-dimethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(L-methionylamino)methyl]phenyl}amino)quinoline-3-
- 10 carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(L-prolylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(L-threonylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 15 N~1~-((3-{{(3-(aminocarbonyl)-6,7-diethoxyquinolin-4-yl)amino}-2-ethylbenzyl)-L-alpha-glutamine
- 6,7-diethoxy-4-({2-ethyl-3-[(L-valylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 4-({3-[(L-arginylamino)methyl]-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 20 4-({3-[(L-alanylamino)methyl]-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(D-serylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 4-[(3-{{(3-cyclohexyl-L-alanyl)amino}methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 25 6,7-diethoxy-4-{{2-ethyl-3-({[(4S)-1,3-thiazolidin-4-ylcarbonyl]amino}methyl)phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{{2-ethyl-3-({[(4R)-4-hydroxy-L-prolyl]amino}methyl)phenyl}amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(D-leucylamino)methyl]phenyl}amino)quinoline-3-
- 30 carboxamide

- N~1~-(3-{[3-(aminocarbonyl)-6,7-diethoxyquinolin-4-yl]amino}-2-ethylbenzyl)-L-aspartamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(2S)-piperidin-2-ylcarbonyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 5 4-{[3-({[(3-cyclohexyl-D-alanyl)amino]methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(2R)-piperidin-2-ylcarbonyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 4-{[3-({[(2S)-2-aminopent-4-enoyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 10 4-{[3-({[(2S)-azetidin-2-ylcarbonyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(5-methyl-L-norleucyl)amino]methyl}phenyl)amino]quinoline-3-carboxamide
- 15 6,7-diethoxy-4-{[2-ethyl-3-({[(4R)-1,3-thiazolidin-4-ylcarbonyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(4-nitro-D-phenylalanyl)amino]methyl}phenyl)amino]quinoline-3-carboxamide
- 4-{[3-({[(1-amino-2,3-dihydro-1H-inden-1-yl)carbonyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 20 4-{[3-({[(1-aminocyclohexyl)carbonyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(3R)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 25 4-{[3-({[(2R)-2-amino-4-phenylbutanoyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(3S)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(4-piperidin-4-yl-L-prolyl)amino]methyl}phenyl)amino]quinoline-3-carboxamide
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- 4-[(3-([(3-amino-L-alanyl)amino]methyl)-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-[(D-phenylalanyl)amino]methyl]phenyl)amino)quinoline-3-carboxamide
- 5 4-{[3-([(2S)-2-amino-4-phenylbutanoyl]amino)methyl]-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(3S)-piperidin-3-ylcarbonyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([(3R)-piperidin-3-ylcarbonyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 10 4-{[3-([(2S)-2-amino-2-phenylacetyl]amino)methyl]-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-[(L-leucyl)amino]methyl]phenyl)amino)quinoline-3-carboxamide
- 15 6,7-diethoxy-4-([2-ethyl-3-[(D-prolyl)amino]methyl]phenyl)amino)quinoline-3-carboxamide
- 4-{[3-([(2S)-2,5-dihydro-1H-pyrrol-2-ylcarbonyl]amino)methyl]-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-[(glycyl)amino]methyl]phenyl)amino)quinoline-3-carboxamide
- 20 4-{[3-([2-amino-4-(methylsulfinyl)butanoyl]amino)methyl]-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-([3-(2-furyl)-L-alanyl]amino)methyl]phenyl]amino}quinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-([(3-pyridin-2-yl)-L-alanyl)amino]methyl]phenyl)amino)quinoline-3-carboxamide
- 25 6,7-diethoxy-4-([2-ethyl-3-([3-(2-thienyl)-L-alanyl]amino)methyl]phenyl]amino)quinoline-3-carboxamide
- 6,7-diethoxy-4-([2-ethyl-3-([3-(1,3-thiazol-4-yl)-L-alanyl]amino)methyl]phenyl]amino)quinoline-3-carboxamide



- 4-{[3-({[(2S)-2-amino-2-cyclopentylacetyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 4-{[3-({[(2S)-2-aminopent-4-ynoyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 5 6,7-diethoxy-4-({2-ethyl-3-[(L-norvalylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 4-{[3-({[(2R)-2-amino-2-phenylacetyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-{[2-ethyl-3-({[(4R)-4-hydroxy-D-prolyl]amino}methyl)phenyl]amino}quinoline-3-carboxamide
- 10 4-({3-[(beta-alanyl)amino]methyl)-2-ethylphenyl}amino)-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(3-pyridin-3-yl-L-alanyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 15 6,7-diethoxy-4-[(2-ethyl-3-{{(3-pyridin-3-yl-D-alanyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 4-{[3-({[N~5~-(aminocarbonyl)-L-ornithyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-[(2-ethyl-3-{{(5-methyl-D-norleucyl)amino}methyl}phenyl)amino]quinoline-3-carboxamide
- 20 4-[(3-{{(2,3-dihydro-1H-isoindol-1-ylcarbonyl)amino}methyl}-2-ethylphenyl)amino]-6,7-diethoxyquinoline-3-carboxamide
- 6,7-diethoxy-4-({2-ethyl-3-[(L-isoleucylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 25 6,7-diethoxy-4-({2-ethyl-3-[(D-valylamino)methyl]phenyl}amino)quinoline-3-carboxamide
- 4-{[3-({[(1-aminocyclopentyl)carbonyl]amino}methyl)-2-ethylphenyl]amino}-6,7-diethoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-7-{3-[isobutyryl(isopropyl)amino]propoxy}-6-methoxyquinoline-3-carboxamide

- 7-{3-[acetyl(isopropyl)amino]propoxy}-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 6-[2-(acetylamino)ethoxy]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 6-{2-[acetyl(methyl)amino]ethoxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-
- 5 carboxamide
- 6-{2-[acetyl(isopropyl)amino]ethoxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 4-[(2-ethylphenyl)amino]-6-{2-[isobutyryl(methyl)amino]ethoxy}-7-methoxyquinoline-3-carboxamide
- 10 4-[(2-ethylphenyl)amino]-6-{2-[isobutyryl(isopropyl)amino]ethoxy}-7-methoxyquinoline-3-carboxamide
- 7-{3-[acetyl(methyl)amino]propoxy}-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-7-{3-[isobutyryl(methyl)amino]propoxy}-6-
- 15 methoxyquinoline-3-carboxamide
- 7-{3-[acetyl(cyclopropyl)amino]propoxy}-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 7-{3-[cyclopropyl(isobutyryl)amino]propoxy}-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 20 7-[3-(acetylamino)propoxy]-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-7-[3-(isobutyrylamino)propoxy]-6-methoxyquinoline-3-carboxamide
- 6-{2-[(cyclopropylcarbonyl)(methyl)amino]ethoxy}-4-[(2-ethylphenyl)amino]-7-
- 25 methoxyquinoline-3-carboxamide
- 6-{2-[(cyclopropylcarbonyl)(isopropyl)amino]ethoxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-7-{3-[isopropyl(methylsulfonyl)amino]propoxy}-6-methoxyquinoline-3-carboxamide

- 4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-6-methoxy-7-{{3-  
[(methylsulfonyl)amino]propoxy}quinoline-3-carboxamide  
tert-butyl {{3-[(3-(aminocarbonyl)-4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-6-  
methoxyquinolin-7-yl)oxy]propyl}isopropylcarbamate
- 5 4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-7-(3-  
{isopropyl[(isopropylamino)carbonyl]amino}propoxy)-6-methoxyquinoline-3-carboxamide  
7-[3-(cyclopropylamino)propoxy]-4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-6-  
methoxyquinoline-3-carboxamide  
6-[3-(cyclopropylamino)propoxy]-4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-7-  
10 methoxyquinoline-3-carboxamide  
7-{{3-[(2-cyanoethyl)(methyl)amino]propoxy}-4-{{3-(hydroxymethyl)-2-  
methylphenyl}amino}-6-methoxyquinoline-3-carboxamide bis(trifluoroacetate) (salt)  
4-{{3-(hydroxymethyl)-2-methylphenyl}amino}-6-methoxy-7-[3-(2-methylpiperidin-1-  
yl)propoxy]quinoline-3-carboxamide
- 15 7-{{3-[(2-cyanoethyl)(methyl)amino]propoxy}-4-{{3-(hydroxymethyl)-2-  
methylphenyl}amino}-6-methoxyquinoline-3-carboxamide  
4-{{3-(hydroxymethyl)-2-methylphenyl}amino}-7-[3-(3-hydroxypiperidin-1-yl)propoxy]-6-  
methoxyquinoline-3-carboxamide  
4-{{3-(hydroxymethyl)-2-methylphenyl}amino}-7-[3-(4-hydroxypiperidin-1-yl)propoxy]-6-  
20 methoxyquinoline-3-carboxamide  
6-methoxy-4-[(2-methylphenyl)amino]-7-[3-(2-methylpiperidin-1-yl)propoxy]quinoline-3-  
carboxamide  
7-[3-(3-hydroxypiperidin-1-yl)propoxy]-6-methoxy-4-[(2-methylphenyl)amino]quinoline-3-  
carboxamide
- 25 7-[3-(4-hydroxypiperidin-1-yl)propoxy]-6-methoxy-4-[(2-methylphenyl)amino]quinoline-3-  
carboxamide  
4-{{3-(hydroxymethyl)-2-methylphenyl}amino}-7-[3-(3-hydroxypyrrolidin-1-yl)propoxy]-  
6-methoxyquinoline-3-carboxamide  
4-{{2-ethyl-3-(hydroxymethyl)phenyl}amino}-6-methoxy-7-[3-(1H-1,2,4-triazol-1-  
30 yl)propoxy]quinoline-3-carboxamide

- 7-[2-(cyclopropylamino)ethoxy]-4-{[3-(hydroxymethyl)-2-methylphenyl]amino}-6-methoxyquinoline-3-carboxamide
- 6-[2-(cyclopropylamino)ethoxy]-4-{[3-(hydroxymethyl)-2-methylphenyl]amino}-7-methoxyquinoline-3-carboxamide
- 5 6-[2-(cyclopropylamino)ethoxy]-4-[(4-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 6-[2-(cyclopropylamino)ethoxy]-4-[(3-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 6-[2-(cyclopropylamino)ethoxy]-7-methoxy-4-[(2-methylphenyl)amino]quinoline-3-
- 10 carboxamide
- 6-{2-[(2-cyanoethyl)amino]ethoxy}-4-{[3-(hydroxymethyl)-2-methylphenyl]amino}-7-methoxyquinoline-3-carboxamide
- 6-[3-(cyclopropylamino)propoxy]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 15 6-{3-[(cyanomethyl)amino]propoxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 6-[3-(Carbamoylmethyl-amino)-propoxy]-4-(2-ethyl-phenylamino)-7-methoxy-quinoline-3-carboxylic acid amide
- methyl N-[3-({3-(aminocarbonyl)-4-[(2-ethylphenyl)amino]-7-methoxyquinolin-6-
- 20 yl}oxy)propyl]glycinate
- 7-(3-cyanopropoxy)-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 2-[(3-(aminocarbonyl)-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinolin-7-yl)oxy]ethyl acetate
- 25 6-[2-(cyclopropylamino)ethoxy]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 7-[3-(2,5-dioxopyrrolidin-1-yl)propoxy]-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxy-7-[3-(3-methyl-2,5-
- 30 dioxoimidazolidin-1-yl)propoxy]quinoline-3-carboxamide

- 4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxy-7-[3-(3,4,4-trimethyl-2,5-dioxoimidazolidin-1-yl)propoxy]quinoline-3-carboxamide  
7-(cyclopentyloxy)-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinoline-3-carboxamide
- 5 6-(cyclopentyloxy)-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide  
1-{3-[(3-(aminocarbonyl)-4-{[3-(hydroxymethyl)-2-methylphenyl]amino}-6-methoxyquinolin-7-yl)oxy]propyl}-1-methylpyrrolidinium iodide  
tert-butyl 4-[(3-(aminocarbonyl)-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxyquinolin-7-yl)oxy]piperidine-1-carboxylate
- 10 tert-butyl 4-({3-(aminocarbonyl)-4-[(2-ethylphenyl)amino]-7-methoxyquinolin-6-yl}oxy)piperidine-1-carboxylate  
3-(aminocarbonyl)-4-[(2-ethylphenyl)amino]-7-methoxyquinolin-6-yl propane-2-sulfonate  
4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-6-methoxy-7-(piperidin-4-yloxy)quinoline-3-carboxamide
- 15 4-[(2-ethylphenyl)amino]-7-methoxy-6-(piperidin-4-yloxy)quinoline-3-carboxamide  
6-[3-(cyclopropylamino)-2-hydroxypropoxy]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide  
6-{3-[(2-cyanoethyl)amino]-2-hydroxypropoxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 20 4-[(2-ethylphenyl)amino]-6-[2-hydroxy-3-(2-hydroxypyrrolidin-1-yl)propoxy]-7-methoxyquinoline-3-carboxamide  
4-[(2-ethylphenyl)amino]-6-(2-hydroxy-3-piperazin-1-ylpropoxy)-7-methoxyquinoline-3-carboxamide  
6-{[(2R)-3-(cyclopropylamino)-2-hydroxy-2-methylpropyl]oxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 25 6-{[(2S)-3-(cyclopropylamino)-2-hydroxy-2-methylpropyl]oxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide  
6-[3-(cyclopropylamino)-2-hydroxypropoxy]-4-{[2-ethyl-3-(hydroxymethyl)phenyl]amino}-7-methoxyquinoline-3-carboxamide

- 6-{{(2R)-3-(cyclopropylamino)-2-hydroxypropyl}oxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 6-{{(2S)-3-(cyclopropylamino)-2-hydroxypropyl}oxy}-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-carboxamide
- 5 3-(aminocarbonyl)-4-[(2-ethylphenyl)amino]-7-methoxyquinolin-6-yl 2-methylpropanoate
- 6,7-diethoxy-4-[(4-methyl-1-oxo-1,2-dihydroisoquinolin-5-yl)amino]quinoline-3-carboxamide
- 6,7-diethoxy-4-[(4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-5-yl)amino]quinoline-3-carboxamide
- 10 tert-butyl 5-{{[3-(aminocarbonyl)-6,7-diethoxyquinolin-4-yl]amino}}-3,4-dihydroisoquinoline-2(1H)-carboxylate
- 6,7-diethoxy-4-(1,2,3,4-tetrahydroisoquinolin-5-ylamino)quinoline-3-carboxamide
- 4-{{[3-(azidomethyl)-2-ethylphenyl]amino}}-6-[3-(cyclopropylamino)propoxy]-7-methoxyquinoline-3-carboxamide
- 15 4-{{[3-(aminomethyl)-2-ethylphenyl]amino}}-6-[3-(cyclopropylamino)propoxy]-7-methoxyquinoline-3-carboxamide
- 4-{{[3-(aminomethyl)-2-ethylphenyl]amino}}-7-{3-[isobutyryl(isopropyl)amino]propoxy}-6-methoxyquinoline-3-carboxamide
- 4-{{[3-(azidomethyl)-2-ethylphenyl]amino}}-6-[3-(cyclopropylamino)-2-hydroxypropoxy]-7-methoxyquinoline-3-carboxamide
- 20 methoxyquinoline-3-carboxamide
- 4-{{[3-(aminomethyl)-2-ethylphenyl]amino}}-6-[3-(cyclopropylamino)-2-hydroxypropoxy]-7-methoxyquinoline-3-carboxamide
- 4-{{[3-[(acetyl amino)methyl]-2-ethylphenyl]amino}}-6-{3-[acetyl(cyclopropyl)amino]-2-hydroxypropoxy}-7-methoxyquinoline-3-carboxamide
- 25 6-[3-(cyclopropylamino)-2-hydroxypropoxy]-4-{{[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino}}-7-methoxyquinoline-3-carboxamide
- 6-[3-(cyclopropylamino)-2-hydroxypropoxy]-4-{{[2-ethyl-3-(1H-pyrazol-1-ylmethyl)phenyl]amino}}-7-methoxyquinoline-3-carboxamide
- 6-{{(2S)-3-(cyclopropylamino)-2-hydroxypropyl}oxy}-4-{{[2-ethyl-3-(morpholin-4-ylmethyl)phenyl]amino}}-7-methoxyquinoline-3-carboxamide
- 30

- amino{6,7-diethoxy-4-[(2-ethylphenyl)amino]quinolin-3-yl}methanol  
 6-[3-(cyclopropylamino)propoxy]-4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-  
 7-methoxyquinoline-3-carboxamide  
 4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-6-methoxy-7-(2-  
 5 methoxyethoxy)quinoline-3-carboxamide  
 6-(ethylamino)-4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-7-  
 methoxyquinoline-3-carboxamide  
 6-[(2,2-dimethoxyethyl)amino]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-  
 carboxamide  
 10 6-[(3,3-diethoxypropyl)amino]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-  
 carboxamide  
 tert-butyl [2-({3-(aminocarbonyl)-4-[(2-ethylphenyl)amino]-7-methoxyquinolin-6-  
 yl}amino)ethyl]carbamate  
 tert-butyl {2-[(3-(aminocarbonyl)-4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-7-  
 15 methoxyquinolin-6-yl)amino]ethyl}carbamate  
 6-[[3-(cyclopropylamino)propyl]amino]-4-[(2-ethylphenyl)amino]-7-methoxyquinoline-3-  
 carboxamide  
 4-(2,3-dihydro-1H-inden-1-ylamino)-6,7-dimethoxyquinoline-3-carboxamide  
 6,7-diethoxy-4-[(2-methylcyclohexyl)amino]quinoline-3-carboxamide  
 20 4-[[[(3S)-1-(cyanoacetyl)pyrrolidin-3-yl]amino]-6,7-dimethoxyquinoline-3-carboxamide  
 4-[[[(3S)-1-(cyanoacetyl)piperidin-3-yl]amino]-6,7-dimethoxyquinoline-3-carboxamide  
 or a pharmaceutically acceptable salt or solvate thereof.

15. A pharmaceutical composition comprising a compound as claimed in any one of claims  
 25 1 to 14, or a pharmaceutically acceptable salt thereof, in association with a pharmaceutically  
 acceptable adjuvant, diluent or carrier.

16. A process for the preparation of a pharmaceutical composition as claimed in claim 15  
 which comprises mixing a compound as defined in any one of claims 1 to 14 or a

pharmaceutically acceptable salt thereof, with a pharmaceutically acceptable adjuvant, diluent or carrier.

17. A compound as claimed in any one of claims 1 to 14 or a pharmaceutically acceptable salt thereof for use in therapy.

18. A compound as claimed in any one of claims 1 to 14 or a pharmaceutically acceptable salt thereof, for use in treating a disease or condition mediated by JAK3 .

19. Use of a compound, as claimed in any one of claims 1 to 14 or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for use in the treatment of organ transplant rejection, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, rhinitis, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia, and other autoimmune diseases.

20. Use according to claim 19 in the manufacture of a medicament for the treatment of asthma, host versus graft rejection/transplantation or rheumatoid arthritis.

21. A method of treating a disease or condition mediated by JAK3 which comprises administering to a patient in need of such treatment a therapeutically effective amount of a compound as claimed in any of claims 1 to 14 or a pharmaceutically acceptable salt thereof

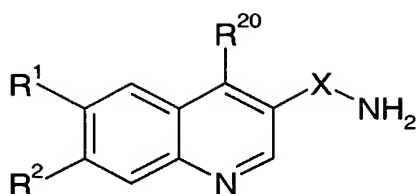
22. A method according to claim 21 in which the disease or condition is asthma, host versus graft rejection/transplantation or rheumatoid arthritis.

23. A process for preparing a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salt thereof, which comprises:

(a) reaction of a compound of formula (II):



(II)

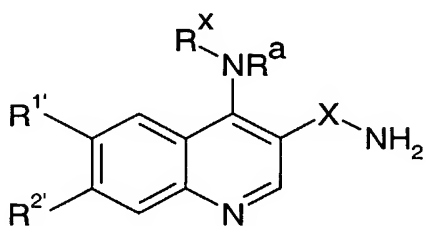


in which  $R^1$  and  $R^2$  are as defined in claim 1 or are protected derivatives thereof and  $R^{20}$  is a  
 5 leaving group, with a compound of formula (III):



in which  $R^x$  is as defined for formula (I) for claim 1 or a protected derivative thereof, or

- 10 (b) for compounds of formula (I) where  $R^1$  and/or  $R^2$  are groups  $Y(CR^3_2)_pNR^4R^5$ ,  $Y(CR^3_2)_pCONR^4R^5$ ,  $Y(CR^3_2)_pCO_2R^6$ ,  $Y(CR^3_2)_pOR^6$  or  $Y(CR^3_2)_pR^6$  where Y is oxygen and  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  are as defined in claim 1, reaction of a compound of formula (IV):



15 (IV)

where the  $R^{1'}$  or  $R^{2'}$  to be converted into a group  $Y(CR^3_2)_pNR^4R^5$ ,  $Y(CR^3_2)_pCONR^4R^5$ ,  $Y(CR^3_2)_pCO_2R^6$ ,  $Y(CR^3_2)_pOR^6$  or  $Y(CR^3_2)_pR^6$  is hydroxy and the other  $R^{1'}$  or  $R^{2'}$  together with  $R^x$  are as defined above for process (a) with a compound of formula (V):

20



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where  $R^{21}$  is  $NR^4R^5$ ,  $CONR^4R^5$ ,  $CO_2R^6$ ,  $OR^6$  or  $R^6$  and  $R^4$ ,  $R^5$  and  $R^6$  are as defined in formula (I) in claim 1 or are protected derivatives thereof,

and optionally thereafter process (a) or (b)

- 5
- removing any protecting groups
  - converting a compound of formula (I) into a further compound of formula (I)
  - forming a pharmaceutically acceptable salt or solvate.